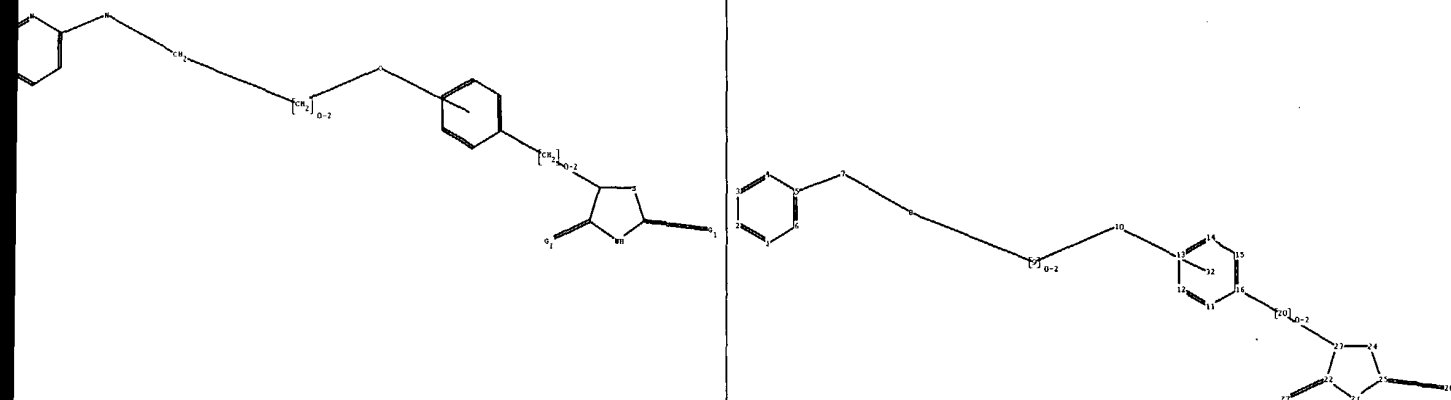


\stnweb\Queries\124.str



chain nodes :  
 7 8 9 10 20 27 28  
 ring nodes :  
 1 2 3 4 5 6 11 12 13 14 15 16 21 22 23 24 25  
 chain bonds :  
 5-7 7-8 8-9 9-10 16-20 20-23 22-27 25-28  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16  
 21-22 21-25 22-23 23-24 24-25  
 exact/norm bonds :  
 5-7 21-22 21-25 22-27 25-28  
 exact bonds :  
 7-8 8-9 9-10 16-20 20-23 22-23 23-24 24-25  
 formalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16  
 isolated ring systems :  
 containing 1 : 11 : 21 :

1:O,S

match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 20:CLASS  
 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 27:CLASS 28:CLASS 32:CLASS

\*\*\*\*\* Welcome to STN International \*\*\*\*\*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
 NEWS 2 "Ask CAS" for self-help around the clock  
 NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated  
 and searchable  
 NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in  
 CA/CAPLUS  
 NEWS 5 FEB 05 German (DE) application and patent publication number format  
 changes  
 NEWS 6 MAR 03 MEDLINE and LMedline reloaded  
 NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
 NEWS 8 MAR 03 FRANCEPAT now available on STN  
 NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
 NEWS 10 MAR 29 WPIFV now available on STN  
 NEWS 11 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
 NEWS 12 APR 26 PROMT: New display field available  
 NEWS 13 APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field  
 available  
 NEWS 14 APR 26 LITAlert now available on STN  
 NEWS 15 APR 27 NLDB: New search and display fields available  
 NEWS 16 May 10 PROUSDDR now available on STN  
 NEWS 17 May 19 PROUSDDR: One FREE connect hour, per account, in both May  
 and June 2004  
 NEWS 18 May 12 EXTEND option available in structure searching  
 NEWS 19 May 12 Polymer links for the POLYLINK command completed in REGISTRY  
 NEWS 20 May 17 FRFULL now available on STN

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
 MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
 AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
 NEWS INTER General Internet Information  
 NEWS LOGIN Welcome Banner and News Items  
 NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
 NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
 specific topic.

All use of STN is subject to the provisions of the STN Customer  
 agreement. Please note that this agreement limits use to scientific  
 research. Use for software development or design or implementation  
 of commercial gateways or other similar uses is prohibited and may  
 result in loss of user privileges and other penalties.

\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 17:00:02 ON 24 MAY 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:00:35 ON 24 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAY 2004 HIGHEST RN 685087-62-1  
 DICTIONARY FILE UPDATES: 23 MAY 2004 HIGHEST RN 685087-62-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

```
=> s methyl () pyridyl () benzyl
UNMATCHED RIGHT PARENTHESIS 'PYRIDYL'
The number of right parentheses in a query must be equal to the
number of left parentheses.
```

```
=> s methyl and pyridyl
13519750 METHYL
94 METHYLS
13519750 METHYL
(METHYL OR METHYLS)
38685 PYRIDYL
L1 19348 METHYL AND PYRIDYL
```

```
=> s l1 and blackler, p?/au
NUMERIC VALUE NOT VALID 'BLACKLER, P?'
0 BLACKLER, P?/AU
L2 0 L1 AND BLACKLER, P?/AU
```

```
=> s blacker, p?/au
NUMERIC VALUE NOT VALID 'BLACKER, P?'
L3 0 BLACKER, P?/AU
```

```
=> s browne, c?/au
NUMERIC VALUE NOT VALID 'BROWNE, C?'
L4 0 BROWNE, C?/AU
```

```
=> file hcaplus
COST IN U.S. DOLLARS          SINCE FILE          TOTAL
                               ENTRY          SESSION
FULL ESTIMATED COST          23.41          23.62
```

FILE 'HCAPLUS' ENTERED AT 17:02:05 ON 24 MAY 2004  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the

the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 May 2004 VOL 140 ISS 22  
FILE LAST UPDATED: 23 May 2004 (20040523/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s blackler, p?/au  
L5 11 BLACKLER, P?/AU

=> d 15, ibib abs fhitr, 1-11

L5 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing  
Text References

ACCESSION NUMBER: 2001:604226 HCAPLUS  
DOCUMENT NUMBER: 135:332705  
TITLE: Development of an Efficient and Stereoselective Manufacturing Route to Idoxifene  
AUTHOR(S): Ace, Karl W.; Armitage, Mark A.; Bellingham, Richard K.; **Blackler, Paul D.**; Ennis, David S.; Hussain, Nigel; Lathbury, David C.; Morgan, David O.; O'Connor, Noah; Oakes, Graham H.; Passey, Stephen C.; Powling, Laurence C.  
CORPORATE SOURCE: Chemical Development, GlaxoSmithKline Pharmaceuticals, Tonbridge Kent, TN11 9AN, UK  
SOURCE: Organic Process Research & Development (2001), 5(5), 479-490  
CODEN: OPRDFK; ISSN: 1083-6160  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A literature route to 1-(2-[4-[(E)-1-(4-iodophenyl)-2-phenyl-but-1-enyl]phenoxy]ethyl)pyrrolidine (idoxifene) was modified to tackle various scale-up issues and provide initial supplies. A new highly efficient, robust, and stereoselective manufg. route is described in detail. This route involves diastereoselective synthesis of tertiary alc. (1RS,2SR)-1-(4-iodophenyl)-2-phenyl-1-[4-(2-pyrrolidin-1-yl-ethoxy)phenyl]butan-1-ol by Grignard addn. to the ketone 1-(4-iodophenyl)-2-phenyl-1-butanone followed by derivatization and stereoselective syn elimination to provide idoxifene in excellent yield and geometric purity. Evaluation of a more direct route to idoxifene using a McMurry low-valent Ti coupling reaction is also described.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing  
Text References

ACCESSION NUMBER: 2000:772629 HCAPLUS  
DOCUMENT NUMBER: 133:340315  
TITLE: Therapeutic action and properties of a polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt

INVENTOR(S): **Blackler, Paul David James; Browne, Christine Marie; Coakley, Timothy G.; Giles, Robert Gordon; Morrissey, Gillian**

PATENT ASSIGNEE(S): **SmithKline Beecham PLC, UK; SmithKline Beecham (Cork) Limited**

SOURCE: **PCT Int. Appl., 21 pp.**  
**CODEN: PIXXD2**

DOCUMENT TYPE: **Patent**

LANGUAGE: **English**

FAMILY ACC. NUM. COUNT: **1**

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2000064896</u>	A1	20001102	<u>WO 2000-GB1520</u>	20000419
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1173435</u>	A1	20020123	<u>EP 2000-920892</u>	20000419
<u>EP 1173435</u>	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
<u>BR 2000009932</u>	A	20020409	<u>BR 2000-9932</u>	20000419
<u>TR 200103062</u>	T2	20020521	<u>TR 2001-200103062</u>	20000419
<u>JP 2002543077</u>	T2	20021217	<u>JP 2000-614248</u>	20000419
<u>EP 1304330</u>	A2	20030423	<u>EP 2002-80321</u>	20000419
<u>EP 1304330</u>	A3	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
<u>AT 246191</u>	E	20030815	<u>AT 2000-920892</u>	20000419
<u>AU 765005</u>	B2	20030904	<u>AU 2000-41308</u>	20000419
<u>PT 1173435</u>	T	20031231	<u>PT 2000-920892</u>	20000419
<u>NZ 515168</u>	A	20040227	<u>NZ 2000-515168</u>	20000419
<u>NO 2001005147</u>	A	20011217	<u>NO 2001-5147</u>	20011022
<u>HR 2001000772</u>	A1	20021031	<u>HR 2001-772</u>	20011022
<u>ZA 2001008719</u>	A	20020621	<u>ZA 2001-8719</u>	20011023
<u>BG 106121</u>	A	20020531	<u>BG 2001-106121</u>	20011120
<u>PRIORITY APPLN. INFO.:</u>				
			<u>GB 1999-9473</u>	A 19990423
			<u>GB 1999-12196</u>	A 19990525
			<u>EP 2000-920892</u>	A3 20000419
			<u>WO 2000-GB1520</u>	W 20000419

AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2, 4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an IR spectrum contg. peaks at 1763, 912, 856 and 709 cm<sup>-1</sup>; and/or (ii) a Raman spectrum contg. peaks at 1762, 1284, 912 and 888 cm<sup>-1</sup>; and/or (iii) a solid-state <sup>13</sup>C NMR spectrum contg. peaks at 111.0, 113.6, 119.8, 129.1, 130.9, 131.8, 134.7, 138.7, 146.5, 152.7, 157.5, 169.5, 171.0, 178.7 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings at 5.87, 5.30, 4.69, 4.09, 3.88, 3.61, 3.53 and 3.46 Angstroms; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
-----------	-------------------

ACCESSION NUMBER: 2000:772627 HCAPLUS  
DOCUMENT NUMBER: 133:340314  
TITLE: Therapeutic action and properties of a polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt  
INVENTOR(S): **Blackler, Paul David James**; Giles, Robert Gordon; Moore, Stephen; Sasse, Michael John  
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK  
SOURCE: PCT Int. Appl., 19 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

10030877  
copyingNO release  
not done

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064893	A2	20001102	WO 2000-GB1522	20000419
WO 2000064893	A3	20010125		
W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
RW:		GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
EP 1175418	A2	20020130	EP 2000-922793	20000419
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
BR 2000009935	A	20020416	BR 2000-9935	20000419
TR 200103060	T2	20020521	TR 2001-200103060	20000419
JP 2002543076	T2	20021217	JP 2000-614245	20000419
EP 1277753	A1	20030122	EP 2002-80319	20000419
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL		
NZ 515167	A	20040227	NZ 2000-515167	20000419
NO 2001005148	A	20011217	NO 2001-5148	20011022
HR 2001000774	A1	20021031	HR 2001-774	20011022
ZA 2001008718	A	20021203	ZA 2001-8718	20011023
BG 106122	A	20020531	BG 2001-106122	20011120
PRIORITY APPLN. INFO.:			GB 1999-9471	A 19990423
			GB 1999-12195	A 19990525
			EP 2000-922793	A3 20000419
			WO 2000-GB1522	W 20000419

AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an infra red spectrum contg. peaks at 1752, 1546, 1154, 621, and 602  $\text{cm}^{-1}$ ; and/or (ii) a Raman spectrum contg. peaks at 1751, 1243 and 602  $\text{cm}^{-1}$ ; and/or (iii) a solid-state NMR spectrum contg. peaks at 111.9, 114.8, 119.6, 129.2, 134.0, 138.0, 144.7, 153.2, 157.1, 170.7, 172.0 and 175.0 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings of 6.46, 5.39, 4.83, 4.68, 3.71, 3.63, 3.58, and 3.48 Angstroms; a process for prepg. such a compd.,

a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

L5 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing  
Text References

ACCESSION NUMBER: 2000:772626 HCAPLUS  
DOCUMENT NUMBER: 133:340313  
TITLE: Therapeutic action and properties of a polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt  
INVENTOR(S): Blackler, Paul David James; Giles, Robert Gordon; Sasse, Michael John  
PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK  
SOURCE: PCT Int. Appl., 18 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064892	A2	20001102	WO 2000-GB1514	20000419
WO 2000064892	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173434	A2	20020123	EP 2000-920889	20000419
EP 1173434	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103061	T2	20020521	TR 2001-200103061	20000419
BR 2000009934	A	20020604	BR 2000-9934	20000419
JP 2002543075	T2	20021217	JP 2000-614244	20000419
EP 1284268	A1	20030219	EP 2002-80320	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 247653	E	20030915	AT 2000-920889	20000419
AU 765498	B2	20030918	AU 2000-41306	20000419
PT 1173434	T	20031231	PT 2000-920889	20000419
NZ 515163	A	20040227	NZ 2000-515163	20000419
NO 2001005149	A	20011217	NO 2001-5149	20011022
HR 2001000773	A1	20021031	HR 2001-773	20011022
ZA 2001008722	A	20020911	ZA 2001-8722	20011023
BG 106119	A	20020531	BG 2001-106119	20011120
US 2004092555	A1	20040513	US 2003-703887	20031107
PRIORITY APPLN. INFO.:				
			GB 1999-9472	A 19990423
			GB 1999-12197	A 19990525
			EP 2000-920889	A3 20000419
			WO 2000-GB1514	W 20000419
			US 2002-30323	A3 20020515

AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t

hiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it: (i) provides an IR spectrum contg. peaks at 1360, 1326, 1241, 714 and 669  $\text{cm}^{-1}$ ; and/or (ii) provides a Raman spectrum contg. peaks at 1581, 768, 670, 271 and 226  $\text{cm}^{-1}$ ; and/or (iii) provides a solid-state NMR spectrum contg. peaks at chem. shifts substantially; and/or (iv) provides an x-ray powder diffraction (XRPD) pattern contg. peaks; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

L5 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing References

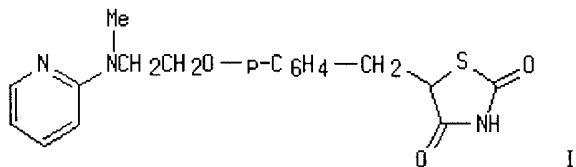
ACCESSION NUMBER: 2000:756704 HCAPLUS  
DOCUMENT NUMBER: 133:325652  
TITLE: 5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate pharmaceutical  
INVENTOR(S): Blackler, Paul David James; Craig, Andrew Simon; Giles, Robert Gordon; Sasse, Michael John  
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
SOURCE: PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

No

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063206	A2	20001026	WO 2000-GB1527	20000419
WO 2000063206	A3	20010222		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173437	A2	20020123	EP 2000-920895	20000419
EP 1173437	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103041	T2	20020321	TR 2001-200103041	20000419
BR 2000009898	A	20020416	BR 2000-9898	20000419
JP 2002542243	T2	20021210	JP 2000-612296	20000419
NZ 515164	A	20040227	NZ 2000-515164	20000419
AT 262524	E	20040415	AT 2000-920895	20000419
EP 1411054	A1	20040421	EP 2003-79072	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2001005105	A	20011219	NO 2001-5105	20011019
HR 2001000771	A1	20021231	HR 2001-771	20011019
ZA 2001008721	A	20020913	ZA 2001-8721	20011023
BG 106120	A	20020531	BG 2001-106120	20011120
PRIORITY APPLN. INFO.:				
			GB 1999-9075	A 19990420
			EP 2000-920895	A3 20000419
			WO 2000-GB1527	W 20000419

GI





AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate (I.HCl.H<sub>2</sub>O) is characterized in that it: (i) provides an IR spectrum contg. peaks at 3358, 2764, 1245, 833 and 760 cm<sup>-1</sup>; and/or (ii) provides an XRPD pattern contg. peaks at 15.0, 17.7, 23.0, 30.0 and 31.4 >2θ; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

L5 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing References

ACCESSION NUMBER: 2000:756703 HCAPLUS  
 DOCUMENT NUMBER: 133:313615  
 TITLE: Novel pharmaceutical thiazolidine derivative  
 INVENTOR(S): **Blackler, Paul David James**; Giles, Robert Gordon;  
 Sasse, Michael John  
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

ND

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063205	A2	20001026	WO 2000-GB1521	20000419
WO 2000063205	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000041309	A5	20001102	AU 2000-41309	20000419
EP 1173436	A2	20020123	EP 2000-920893	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009897	A	20020416	BR 2000-9897	20000419
TR 200103040	T2	20020422	TR 2001-200103040	20000419
JP 2002542242	T2	20021210	JP 2000-612295	20000419
NZ 515166	A	20040227	NZ 2000-515166	20000419
EP 1411055	A1	20040421	EP 2003-79073	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2001005104	A	20011219	NO 2001-5104	20011019
HR 2001000770	A1	20021031	HR 2001-770	20011019
ZA 2001008720	A	20021128	ZA 2001-8720	20011023

BG 106112	A	20020531	BG 2001-106112	20011114
AU 2002027552	A5	20020516	AU 2002-27552	20020320
AU 765911	B2	20031002		

## PRIORITY APPLN. INFO.:

GB 1999-9041	A	19990420
AU 2000-41309	A3	20000419
EP 2000-920893	A3	20000419
WO 2000-GB1521	W	20000419

AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, hydrochloride dihydrate is characterized by: (i) an IR spectrum contg. peaks at 3392, 2739, 1751, 1325 and 713 cm<sup>-1</sup>, and/or (ii) an X-ray powder diffraction pattern contg. peaks at 9.1, 12.0, 15.7, 16.3 and 19.8°2θ. A process for prepg. this compd., a pharmaceutical compn. contg. such a compd. and its use for the treatment and/or prophylaxis of diabetes mellitus are described.

L5 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
-----------	-------------------

ACCESSION NUMBER: 1999:496141 HCAPLUS  
 DOCUMENT NUMBER: 131:208302  
 TITLE: Construction and transferability of a spectral library for the identification of common solvents by near-infrared transfectance spectroscopy  
 AUTHOR(S): Yoon, Weng Li; Jee, Roger D.; Moffat, Anthony C.; Blackler, Paul D.; Yeung, Ken; Lee, David C.  
 CORPORATE SOURCE: School of Pharmacy, Centre for Pharmaceutical Analysis, University of London, London, WC1N 1AX, UK  
 SOURCE: Analyst (Cambridge, United Kingdom) (1999), 124(8), 1197-1203  
 CODEN: ANALAO; ISSN: 0003-2654  
 PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB A transfectance near-IR spectroscopic method was developed for the identification of 15 common solvents using correlation in wavelength space as the identification algorithm. Second-deriv. absorbance spectra over the wavelength range 1136-2000 nm gave the optimum conditions for distinguishing between the solvents. The spectral library was tested on eight instrumental setups and is directly transferable between different instruments not only from the same manufacturer, but also between grating and Fourier transform systems. Identification was not affected by small changes in temp. or optical path length. The presence of water could easily be detected by visual inspection of the solvent spectra. However, small traces of water did not normally interfere with the identification process. Correlation coeff. values between a given solvent from different batches and/or between different instruments were generally >0.99. Values <0.99 invariably indicated the presence of impurities. Mixts. of similar solvents such as ethanol-methanol could not always be reliably differentiated from that of the pure solvent at the highest concn.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
-----------	-------------------

ACCESSION NUMBER: 1999:404959 HCAPLUS  
 DOCUMENT NUMBER: 131:58818  
 TITLE: Preparation of a thiazolidinedione derivative as hydrate for prophylaxis or treatment of diabetes  
 INVENTOR(S): Blackler, Paul David James; Lee, David C.; Sasse,

PATENT ASSIGNEE(S): Michael John  
 SOURCE: Smithkline Beecham Plc, UK  
 PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931095	A1	19990624	WO 1998-EP8155	19981214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314107	AA	19990624	CA 1998-2314107	19981214
AU 9919679	A1	19990705	AU 1999-19679	19981214
EP 1040110	A1	20001004	EP 1998-964510	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813600	A	20001010	BR 1998-13600	19981214
TR 200001799	T2	20010122	TR 2000-200001799	19981214
JP 2002508373	T2	20020319	JP 2000-539019	19981214
ZA 9811506	A	20001106	ZA 1998-11506	19981215
EG 22337	A	20021231	EG 1998-1556	19981215
TW 509690	B	20021111	TW 1998-87121121	19981216
NO 2000003069	A	20000615	NO 2000-3069	20000615
HR 2000000408	A1	20000831	HR 2000-408	20000616
BG 104603	A	20010330	BG 2000-104603	20000713
US 2002137940	A1	20020926	US 2002-82879	20020226
US 2003120078	A1	20030626	US 2002-321055	20021217
PRIORITY APPLN. INFO.:			GB 1997-26566	A 19971216
			WO 1998-EP8155	W 19981214
			US 2000-581826	B1 20000616
			US 2002-82879	B1 20020226

AB Prepn. of a hydrate of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione maleate (I) for prophylaxis and/or treatment of diabetes mellitus and conditions assocd. with it is described. The compd. comprises water in the range of 0.4-2.5% wt./wt. and provides a specific IR spectrum, an X-ray powder diffraction pattern, a Raman spectrum, and/or a solid-state NMR spectrum. I with the water content of 0.54% wt./wt. was prepd. from 6 g of the I free base and 2.1 g maleic acid salt by heating in MeOH to 55° to obtain a soln.; the soln. was filtered, reheated at 55°, and then cooled to 0-5° and stirred. The product was filtered and dried at 52° in vacuo to give I in 84% yield (6.7 g).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing References

ACCESSION NUMBER: 1999:404958 HCAPLUS  
 DOCUMENT NUMBER: 131:63474

TITLE: Hydrate of 5-[4-[2-[N-methyl-N-(2-pyridyl)amino]ethoxy]benzyl]thiazolidine-2,4-dione maleic acid salt

INVENTOR(S): Blackler, Paul David James; Lee, David C.; Sasse, Michael John

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 15 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931094	A1	19990624	WO 1998-EP8154	19981214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314965	AA	19990624	CA 1998-2314965	19981214
AU 9922723	A1	19990705	AU 1999-22723	19981214
BR 9813604	A	20001010	BR 1998-13604	19981214
EP 1045847	A1	20001025	EP 1998-966321	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200001798	T2	20001121	TR 2000-200001798	19981214
JP 2002508372	T2	20020319	JP 2000-539018	19981214
NZ 504704	A	20030328	NZ 1998-504704	19981214
IL 136381	A1	20030917	IL 1998-136381	19981214
ZA 9811505	A	20001106	ZA 1998-11505	19981215
EG 21417	A	20011031	EG 1998-1554	19981215
TW 467913	B	20011211	TW 1998-87121122	19981216
NO 2000003068	A	20000615	NO 2000-3068	20000615
HR 2000000405	A1	20001231	HR 2000-405	20000616
BG 104595	A	20010228	BG 2000-104595	20000711
US 2002099081	A1	20020725	US 2002-72096	20020207
US 6664278	B2	20031216		
US 2004097553	A1	20040520	US 2003-692544	20031024
PRIORITY APPLN. INFO.:				
			GB 1997-26568	A 19971216
			WO 1998-EP8154	W 19981214
			US 2000-581719	A1 20000616
			US 2002-72096	A1 20020207
AB A hydrate of the title compd. is prepd. which is useful in treatment and/or prophylaxis of diabetes mellitus and its complications and assocd. conditions such as insulin resistance, impaired glucose tolerance, hyperinsulinemia, obesity, and gestational diabetes, and is particularly suitable for bulk prepn. and handling. The hydrate is characterized by a water content of 0.2-1.1 wt.% and by its IR spectrum and x-ray powder diffraction pattern. The hydrate is prepd. by crystn. from an aq. alkanol, preferably contg. 2.0-2.5 vol.% water.				
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

Full Text	Citing References
--------------	----------------------

ACCESSION NUMBER: 1998:636137 HCAPLUS  
 TITLE: Transfer of near-infrared spectra of solvents between different instruments  
 AUTHOR(S): Yoon, Weng Li; Lee, Roger D.; Moffat, Anthony C.; Lee, David C.; Yeung, Ken; **Blackler, Paul D.**  
 CORPORATE SOURCE: Centre Pharmaceutical Analysis, School Pharmacy, Univ. London, London, WC1N 1AX, UK  
 SOURCE: Journal of Pharmacy and Pharmacology (1998), 50(Suppl., British Pharmaceutical Conference 1998), 43  
 CODEN: JPPMAB; ISSN: 0022-3573  
 PUBLISHER: Royal Pharmaceutical Society of Great Britain  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Unavailable

L5 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
--------------	----------------------

ACCESSION NUMBER: 1994:38276 HCAPLUS  
 DOCUMENT NUMBER: 120:38276  
 TITLE: Determination of total and ionic chloride and bromide in a crosslinked quaternary ammonium-substituted polymethacrylate by ion chromatography  
 AUTHOR(S): Smith, Ian D.; **Blackler, Paul D.**; Waters, David G.  
 CORPORATE SOURCE: Anal. Sci. Dep., SmithKline Beecham Pharm., Leigh/Kent, TN11 9AN, UK  
 SOURCE: Analytical Proceedings (1993), 30(9), 372-4  
 CODEN: ANPRDI; ISSN: 0144-557X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A method was validated for detn. of chloride and bromide in SK&F97426-A which involved ion chromatog. with an anion micromembrane suppressor and a cond. detector.

=> s browne, c?/au

L6 498 BROWNE, C?/AU

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	35.91	59.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.93	-6.93

FILE 'REGISTRY' ENTERED AT 17:04:39 ON 24 MAY 2004  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAY 2004 HIGHEST RN 685087-62-1  
 DICTIONARY FILE UPDATES: 23 MAY 2004 HIGHEST RN 685087-62-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR

=> s 17

SAMPLE SEARCH INITIATED 17:09:46 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 6 TO 266

PROJECTED ANSWERS: 4 TO 200

L8 4 SEA SSS SAM L7

=> s 17 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 17:09:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 149 TO ITERATE

100.0% PROCESSED 149 ITERATIONS

100 ANSWERS

SEARCH TIME: 00.00.01

L9 100 SEA SSS FUL L7

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

158.78

218.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-6.93

FILE 'HCAPLUS' ENTERED AT 17:09:58 ON 24 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is

held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 May 2004 VOL 140 ISS 22  
FILE LAST UPDATED: 23 May 2004 (20040523/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 19
L10      910 L9

=> s 19 and browne, c?/au
      910 L9
      498 BROWNE, C?/AU
L11      2 L9 AND BROWNE, C?/AU
```

```
=> d 111, ibib abs fhistr, 1-2
```

L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
ACCESSION NUMBER:	2000:772629 HCAPLUS
DOCUMENT NUMBER:	133:340315
TITLE:	Therapeutic action and properties of a polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt
INVENTOR(S):	Blackler, Paul David James; Browne, Christine Marie; Coakley, Timothy G.; Giles, Robert Gordon; Morrissey, Gillian
PATENT ASSIGNEE(S):	SmithKline Beecham PLC, UK; SmithKline Beecham (Cork) Limited
SOURCE:	PCT Int. Appl., 21 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064896	A1	20001102	WO 2000-GB1520	20000419
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173435	A1	20020123	EP 2000-920892	20000419

EP 1173435 B1 20030730

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

BR 2000009932 A 20020409 BR 2000-9932 20000419

TR 200103062 T2 20020521 TR 2001-200103062 20000419

JP 2002543077 T2 20021217 JP 2000-614248 20000419

EP 1304330 A2 20030423 EP 2002-80321 20000419

EP 1304330 A3 20031119

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL

AT 246191 E 20030815 AT 2000-920892 20000419

AU 765005 B2 20030904 AU 2000-41308 20000419

PT 1173435 T 20031231 PT 2000-920892 20000419

NZ 515168 A 20040227 NZ 2000-515168 20000419

NO 2001005147 A 20011217 NO 2001-5147 20011022

HR 2001000772 A1 20021031 HR 2001-772 20011022

ZA 2001008719 A 20020621 ZA 2001-8719 20011023

BG 106121 A 20020531 BG 2001-106121 20011120

PRIORITY APPLN. INFO.:

GB 1999-9473 A 19990423

GB 1999-12196 A 19990525

EP 2000-920892 A3 20000419

WO 2000-GB1520 W 20000419

AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2, 4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an IR spectrum contg. peaks at 1763, 912, 856 and 709  $\text{cm}^{-1}$ ; and/or (ii) a Raman spectrum contg. peaks at 1762, 1284, 912 and 888  $\text{cm}^{-1}$ ; and/or (iii) a solid-state  $^{13}\text{C}$  NMR spectrum contg. peaks at 111.0, 113.6, 119.8, 129.1, 130.9, 131.8, 134.7, 138.7, 146.5, 152.7, 157.5, 169.5, 171.0, 178.7 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings at 5.87, 5.30, 4.69, 4.09, 3.88, 3.61, 3.53 and 3.46 Angstroms; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 155141-29-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action and properties of polymorphic form of  
[[N-methyl-N-(pyridyl)amino]ethoxy]benzyl]thiazolidinedione maleate)

RN 155141-29-0 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

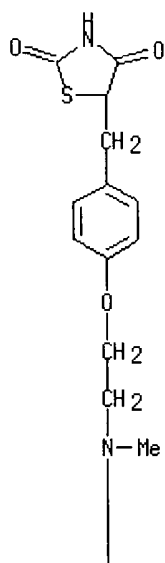
CM 1

CRN 122320-73-4

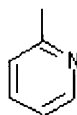
CMF C18 H19 N3 O3 S



PAGE 1-A



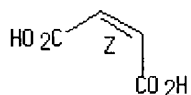
PAGE 2-A



CM 2

CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
-----------	-------------------

ACCESSION NUMBER:	1997:752505 HCAPLUS
DOCUMENT NUMBER:	128:73789
TITLE:	Reversal of chronic alterations of skeletal muscle protein kinase C from fat-fed rats by BRL-49653
AUTHOR(S):	Schmitz-Peiffer, Carsten; Oakes, Nicholas D.; Browne, Carol L.; Kraegen, Edward W.; Biden, Trevor J.
CORPORATE SOURCE:	Garvan Institute of Medical Research, Sydney, 2010, Australia
SOURCE:	American Journal of Physiology (1997), 273(5, Pt. 1), E915-E921
PUBLISHER:	CODEN: AJPHAP; ISSN: 0002-9513
DOCUMENT TYPE:	American Physiological Society Journal

LANGUAGE: English

AB The authors have recently shown that the redn. in insulin sensitivity of rats fed a high-fat diet is assocd. with the translocation of the novel protein kinase C $\epsilon$  (nPKC $\epsilon$ ) from cytosolic to particulate fractions in red skeletal muscle and also the downregulation of cytosolic nPKC $\theta$ . Here the authors have further investigated the link between insulin resistance and PKC by assessing the effects of the thiazolidinedione insulin-sensitizer BRL-49653 on PKC isoenzymes in muscle. BRL-49653 increased the recovery of nPKC isoenzymes in cytosolic fractions of red muscle from fat-fed rats, reducing their apparent activation and/or downregulation, whereas PKC in control rats was unaffected. Because BRL-49653 also improves insulin-stimulated glucose uptake in fat-fed rats and reduces muscle lipid storage, esp. diglyceride content, these results strengthen the assocn. between lipid availability, nPKC activation, and skeletal muscle insulin resistance and support the hypothesis that chronic activation of nPKC isoenzymes is involved in the generation of muscle insulin resistance in fat-fed rats.

IT 122320-73-4, BRL-49653

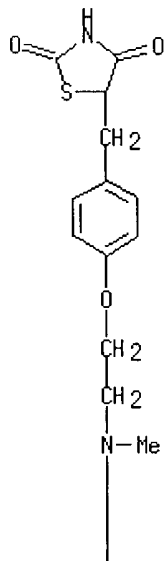
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(reversal of chronic alterations of skeletal muscle protein kinase C from fat-fed rats by thiazolidinedione insulin-sensitizer BRL-49653 in relation to insulin resistance)

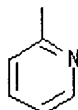
RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT:

41

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 17:00:02 ON 24 MAY 2004)

FILE 'REGISTRY' ENTERED AT 17:00:35 ON 24 MAY 2004

L1 19348 S METHYL AND PYRIDYL  
L2 0 S L1 AND BLACKLER, P?/AU  
L3 0 S BLACKER, P?/AU  
L4 0 S BROWNE, C?/AU

FILE 'HCAPLUS' ENTERED AT 17:02:05 ON 24 MAY 2004

L5 11 S BLACKLER, P?/AU  
L6 498 S BROWNE, C?/AU

FILE 'REGISTRY' ENTERED AT 17:04:39 ON 24 MAY 2004

L7 STRUCTURE UPLOADED  
L8 4 S L7  
L9 100 S L7 FULL

FILE 'HCAPLUS' ENTERED AT 17:09:58 ON 24 MAY 2004

L10 910 S L9  
L11 2 S L9 AND BROWNE, C?/AU

=> s l10 and coalkley, t?/au

0 COALKLEY, T?/AU  
L12 0 L10 AND COALKLEY, T?/AU

=> s l10 and coakley, t?/au

14 COAKLEY, T?/AU  
L13 1 L10 AND COAKLEY, T?/AU

=> s l13 not l11

L14 0 L13 NOT L11

=> s l10 and giles, r?/au

441 GILES, R?/AU  
L15 8 L10 AND GILES, R?/AU

=> s l15 and l11

L16 1 L15 AND L11

=> s l15 not l11

L17 7 L15 NOT L11

=> s l17 not l13

L18 7 L17 NOT L13

=> d l18, ibib abs fhitr, 1-7

L18 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
--------------	----------------------

ACCESSION NUMBER: 2000:772627 HCAPLUS

DOCUMENT NUMBER: 133:340314

TITLE: Therapeutic action and properties of a polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt

INVENTOR(S): Blackler, Paul David James; Giles, Robert Gordon;

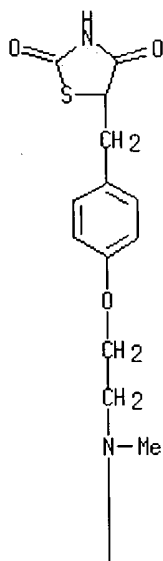
PATENT ASSIGNEE(S): Moore, Stephen; Sasse, Michael John  
 SOURCE: SmithKline Beecham PLC, UK  
 PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064893	A2	20001102	WO 2000-GB1522	20000419
WO 2000064893	A3	20010125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1175418	A2	20020130	EP 2000-922793	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009935	A	20020416	BR 2000-9935	20000419
TR 200103060	T2	20020521	TR 2001-200103060	20000419
JP 2002543076	T2	20021217	JP 2000-614245	20000419
EP 1277753	A1	20030122	EP 2002-80319	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 515167	A	20040227	NZ 2000-515167	20000419
NO 2001005148	A	20011217	NO 2001-5148	20011022
HR 2001000774	A1	20021031	HR 2001-774	20011022
ZA 2001008718	A	20021203	ZA 2001-8718	20011023
BG 106122	A	20020531	BG 2001-106122	20011120
PRIORITY APPLN. INFO.: GB 1999-9471 A 19990423 GB 1999-12195 A 19990525 EP 2000-922793 A3 20000419 WO 2000-GB1522 W 20000419				
AB	A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an infra red spectrum contg. peaks at 1752, 1546, 1154, 621, and 602 cm <sup>-1</sup> ; and/or (ii) a Raman spectrum contg. peaks at 1751, 1243 and 602 cm <sup>-1</sup> ; and/or (iii) a solid-state NMR spectrum contg. peaks at 111.9, 114.8, 119.6, 129.2, 134.0, 138.0, 144.7, 153.2, 157.1, 170.7, 172.0 and 175.0 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings of 6.46, 5.39, 4.83, 4.68, 3.71, 3.63, 3.58, and 3.48 Angstroms; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.			
IT 168553-12-6	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antidiabetic action of polymorphic form of [(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)			
RN	168553-12-6 HCAPLUS			
CN	2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)			

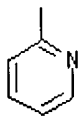
CM 1

CRN 122320-73-4  
 CMF C18 H19 N3 O3 S

PAGE 1-A



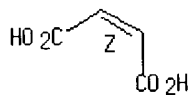
PAGE 2-A



CM 2

CRN 110-16-7  
 CMF C4 H4 O4

Double bond geometry as shown.



L18 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing  
 References

ACCESSION NUMBER:  
 DOCUMENT NUMBER:  
 TITLE:

2000:772626 HCAPLUS  
 133:340313  
 Therapeutic action and properties of a polymorphic  
 form of 5-[4-[2-(N-methyl-N-(2-  
 pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione,  
 maleic acid salt  
 Blackler, Paul David James; Giles, Robert Gordon;  
 Sasse, Michael John

INVENTOR(S):

PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

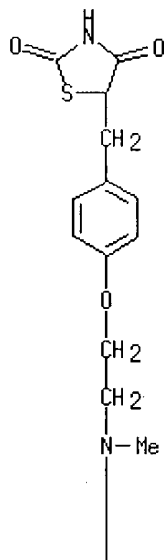
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064892	A2	20001102	WO 2000-GB1514	20000419
WO 2000064892	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173434	A2	20020123	EP 2000-920889	20000419
EP 1173434	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103061	T2	20020521	TR 2001-200103061	20000419
BR 2000009934	A	20020604	BR 2000-9934	20000419
JP 2002543075	T2	20021217	JP 2000-614244	20000419
EP 1284268	A1	20030219	EP 2002-80320	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 247653	E	20030915	AT 2000-920889	20000419
AU 765498	B2	20030918	AU 2000-41306	20000419
PT 1173434	T	20031231	PT 2000-920889	20000419
NZ 515163	A	20040227	NZ 2000-515163	20000419
NO 2001005149	A	20011217	NO 2001-5149	20011022
HR 2001000773	A1	20021031	HR 2001-773	20011022
ZA 2001008722	A	20020911	ZA 2001-8722	20011023
BG 106119	A	20020531	BG 2001-106119	20011120
US 2004092555	A1	20040513	US 2003-703887	20031107
PRIORITY APPLN. INFO.:				
			GB 1999-9472	A 19990423
			GB 1999-12197	A 19990525
			EP 2000-920889	A3 20000419
			WO 2000-GB1514	W 20000419
			US 2002-30323	A3 20020515
AB	A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it: (i) provides an IR spectrum contg. peaks at 1360, 1326, 1241, 714 and 669 cm <sup>-1</sup> ; and/or (ii) provides a Raman spectrum contg. peaks at 1581, 768, 670, 271 and 226 cm <sup>-1</sup> ; and/or (iii) provides a solid-state NMR spectrum contg. peaks at chem. shifts substantially; and/or (iv) provides an x-ray powder diffraction (XRPD) pattern contg. peaks; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.			
IT 168553-12-6	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antidiabetic action of polymorphic form of [(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)			

RN 168553-12-6 HCAPLUS  
 CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

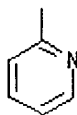
CM 1

CRN 122320-73-4  
 CMF C18 H19 N3 O3 S

PAGE 1-A



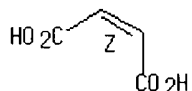
PAGE 2-A



CM 2

CRN 110-16-7  
 CMF C4 H4 O4

Double bond geometry as shown.



L18 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

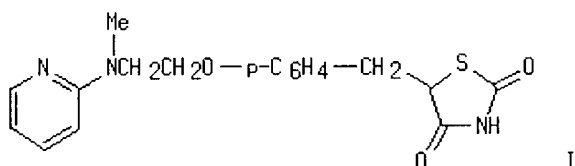
Full Text Citing References

ACCESSION NUMBER: 2000:756704 HCAPLUS  
 DOCUMENT NUMBER: 133:325652  
 TITLE: 5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate  
 pharmaceutical

INVENTOR(S): Blackler, Paul David James; Craig, Andrew Simon;  
**Giles, Robert Gordon**; Sasse, Michael John  
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063206	A2	20001026	WO 2000-GB1527	20000419
WO 2000063206	A3	20010222		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173437	A2	20020123	EP 2000-920895	20000419
EP 1173437	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103041	T2	20020321	TR 2001-200103041	20000419
BR 2000009898	A	20020416	BR 2000-9898	20000419
JP 2002542243	T2	20021210	JP 2000-612296	20000419
NZ 515164	A	20040227	NZ 2000-515164	20000419
AT 262524	E	20040415	AT 2000-920895	20000419
EP 1411054	A1	20040421	EP 2003-79072	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2001005105	A	20011219	NO 2001-5105	20011019
HR 2001000771	A1	20021231	HR 2001-771	20011019
ZA 2001008721	A	20020913	ZA 2001-8721	20011023
BG 106120	A	20020531	BG 2001-106120	20011120
PRIORITY APPLN. INFO.:				
			GB 1999-9075	A 19990420
			EP 2000-920895	A3 20000419
			WO 2000-GB1527	W 20000419

GI



AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate (I.HCl.H<sub>2</sub>O) is characterized in that it: (i) provides an IR spectrum contg. peaks at 3358, 2764, 1245, 833 and 760 cm<sup>-1</sup>; and/or (ii) provides an XRPD pattern contg. peaks at 15.0, 17.7, 23.0, 30.0 and 31.4 >2<j; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 303082-83-9P



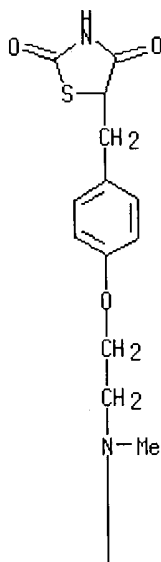
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-  
 dione hydrochloride monohydrate pharmaceutical)

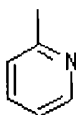
RN 303082-83-9 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met  
 hyl]-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



# HCl

# H2O

L18 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing  
 References

ACCESSION NUMBER: 2000:756703 HCAPLUS  
 DOCUMENT NUMBER: 133:313615  
 TITLE: Novel pharmaceutical thiazolidine derivative  
 INVENTOR(S): Blackler, Paul David James; Giles, Robert Gordon;  
 Sasse, Michael John  
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

PRIORITY APPLN. INFO.:

AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, hydrochloride dihydrate is characterized by: (i) an IR spectrum contg. peaks at 3392, 2739, 1751, 1325 and 713  $\text{cm}^{-1}$ , and/or (ii) an X-ray powder diffraction pattern contg. peaks at 9.1, 12.0, 15.7, 16.3 and  $19.8^\circ 2\theta$ . A process for prepg. this compd., a pharmaceutical compn. contg. such a compd. and its use for the treatment and/or prophylaxis of diabetes mellitus are described.

IT 302543-61-9P

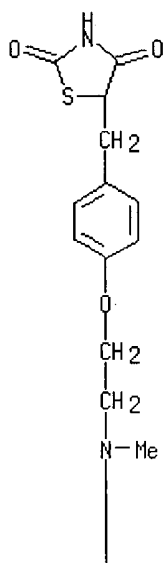
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

```
(prepn., properties, and compns. of antidiabetic thiazolidine deriv. as
hydrochloride dihydrate)
```

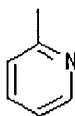
RN 302543-61-9 HCAPLUS

2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]meth  
 yl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



# HCl

# 2 H<sub>2</sub>O

L18 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
-----------	-------------------

ACCESSION NUMBER: 2000:458470 HCAPLUS

DOCUMENT NUMBER: 133:222646

TITLE: Regiospecific reduction of 5-benzylidene-2,4-thiazolidinediones and 4-oxo-2-thiazolidinethiones using lithium borohydride in pyridine and tetrahydrofuran

AUTHOR(S): Giles, Robert G.; Lewis, Norman J.; Quick, John K.; Sasse, Michael J.; Urquhart, Michael W. J.; Youssef, Latifa

CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, Old Powder Mills, Kent, TN11 9AN, UK

SOURCE: Tetrahedron (2000), 56(26), 4531-4537  
CODEN: TETRAB; ISSN: 0040-4020

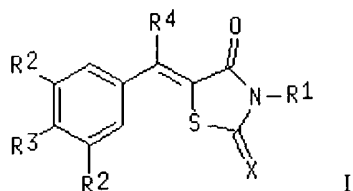
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:222646

GI



AB The novel regiospecific and general redn. of 5-benzylidene-2,4-thiazolidinediones and 5-benzylidene-4-oxo-2-thiazolidinethiones to the corresponding 5-benzyl derivs. was accomplished using LiBH<sub>4</sub> in pyridine and THF. NaBH<sub>4</sub> and LiCl can also be used under these conditions, which represents a cheaper alternative to LiBH<sub>4</sub>. Thus, redn. of benzylideneoxothiazolidinethione I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = H, R<sub>4</sub> = Me, X = S) with LiBH<sub>4</sub> in THF/pyridine for 5 h afforded the benzyl deriv. in 96% yield.

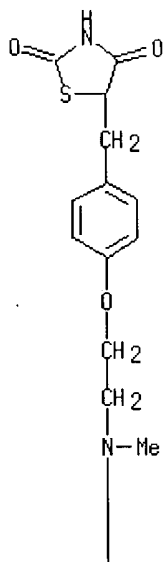
IT **122320-73-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

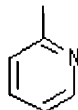
RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Citing References

ACCESSION NUMBER: 1999:311203 HCAPLUS

DOCUMENT NUMBER: 130:313481

TITLE: Process for the preparation of thiazolidinedione derivatives

INVENTOR(S): Giles, Robert Gordon; Lewis, Norman John; Quick, John Kirby

PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK

SOURCE: PCT Int. Appl., 11 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

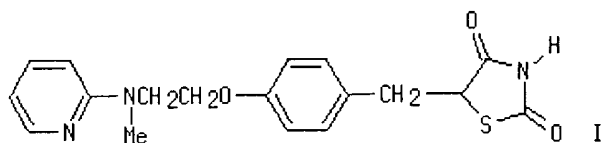
PATENT INFORMATION:

NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9923095	A1	19990514	WO 1998-EP6997	19981027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309461	AA	19990514	CA 1998-2309461	19981027
AU 9915595	A1	19990524	AU 1999-15595	19981027
EP 1028960	A1	20000823	EP 1998-959834	19981027
EP 1028960	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814622	A	20001003	BR 1998-14622	19981027
TR 200001239	T2	20010723	TR 2000-200001239	19981027
JP 2001521937	T2	20011113	JP 2000-518965	19981027
EP 1219620	A1	20020703	EP 2002-75969	19981027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL				
AT 238302	E	20030515	AT 1998-959834	19981027
PT 1028960	T	20030930	PT 1998-959834	19981027
ES 2197519	T3	20040101	ES 1998-959834	19981027
ZA 9810033	A	20000503	ZA 1998-10033	19981103
NO 2000002174	A	20000530	NO 2000-2174	20000427
HR 2000000263	A1	20001231	HR 2000-263	20000504
BG 104505	A	20010131	BG 2000-104505	20000605
HK 1032046	A1	20040130	HK 2001-100772	20010202
US 2002120150	A1	20020829	US 2002-82995	20020226
US 2003092742	A1	20030515	US 2002-288072	20021104
PRIORITY APPLN. INFO.:				
			GB 1997-23295	A 19971104
			EP 1998-959834	A3 19981027
			WO 1998-EP6997	W 19981027
			US 2000-530888	B1 20000710
			US 2002-82995	B1 20020226

OTHER SOURCE(S): MARPAT 130:313481

GI



AB Title compds. such as I are prepd. by hydrogenation of their benzylidenethiazolidinone analogs. Thus, 123 kg (Z)-5-[4-[2-(methyl-2-pyridylamino)ethoxy]benzylidenel]-2,4-thiazolidinedione in 1232 L glacial HOAc is hydrogenated at 70-80 psi H<sub>2</sub> over 10% Pd/charcoal at about 90° to give I in 70-80% yield.

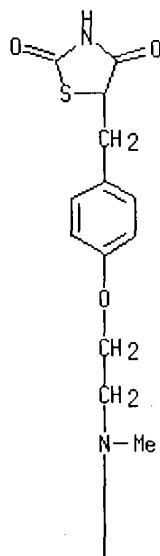
IT 122320-73-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

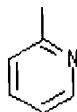
RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

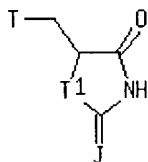
Full Text Citing References

ACCESSION NUMBER: 1998:604911 HCAPLUS  
DOCUMENT NUMBER: 129:202936  
TITLE: Preparation of 5-benzylthiazolidine-2,4-diones.  
INVENTOR(S): Giles, Robert Gordon; Lewis, Norman John; Moore, Stephen; Pool, Colin Ripley; Quick, John Kirby; Urquhart, Michael  
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

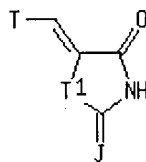
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837073	A1	19980827	WO 1998-EP818	19980213
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866223	A1	19980909	AU 1998-66223	19980213
EP 970063	A1	20000112	EP 1998-908093	19980213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9807395	A	20000314	BR 1998-7395	19980213
NZ 337179	A	20010727	NZ 1998-337179	19980213
JP 2001514619	T2	20010911	JP 1998-536229	19980213
ZA 9801280	A	19990817	ZA 1998-1280	19980217
IN 188379	A	20020914	IN 1998-DE417	19980218
NO 9903949	A	19990907	NO 1999-3949	19990817
MX 9907656	A	20000228	MX 1999-7656	19990818
US 2002042519	A1	20020411	US 2001-5686	20011108
US 6632947	B2	20031014		
NO 2002003937	A	19990907	NO 2002-3937	20020819
PRIORITY APPLN. INFO.:			GB 1997-3310	A 19970218
			GB 1997-3334	A 19970218
			GB 1997-3338	A 19970218
			WO 1998-EP818	W 19980213
			US 1999-367757	A1 19990818
OTHER SOURCE(S):		CASREACT 129:202936; MARPAT 129:202936		
GI				

NO



I



II

AB Title compds. (I; J, T1 = O, S; T = (substituted) aryl) were prep'd. by reducing alkenes (II; variables as above) with a complex hydride reducing agent or a source of a complex hydride reducing agent. Thus, 5-[4-[2-[N-methyl-N-(2-pyridyl)amino]ethoxy]benzylidene]-2,4-thiazolidinedione was refluxed with Li tri-sec-butylborohydride in THF to give 79% 5-[4-[2-[N-methyl-N-(2-pyridyl)amino]ethoxy]benzyl]-2,4-thiazolidinedione.

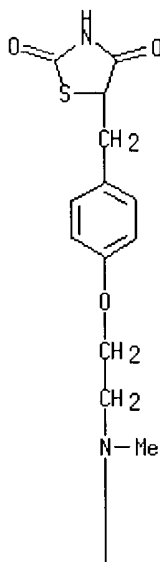
## IT 122320-73-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of 5-benzylthiazolidine-2,4-diones)

RN 122320-73-4 HCAPLUS

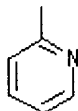
CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



546/268.1 → 268.4 → 269.7  
 514/183 → 277 → 336 → 340  
 (342)

PAGE 2-A



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d his

(FILE 'HOME' ENTERED AT 17:00:02 ON 24 MAY 2004)

FILE 'REGISTRY' ENTERED AT 17:00:35 ON 24 MAY 2004

L1 19348 S METHYL AND PYRIDYL  
 L2 0 S L1 AND BLACKLER, P?/AU  
 L3 0 S BLACKER, P?/AU  
 L4 0 S BROWNE, C?/AU

FILE 'HCAPLUS' ENTERED AT 17:02:05 ON 24 MAY 2004

L5 11 S BLACKLER, P?/AU  
 L6 498 S BROWNE, C?/AU

FILE 'REGISTRY' ENTERED AT 17:04:39 ON 24 MAY 2004

L7 STRUCTURE UPLOADED  
 L8 4 S L7  
 L9 100 S L7 FULL

FILE 'HCAPLUS' ENTERED AT 17:09:58 ON 24 MAY 2004

L10 910 S L9  
 L11 2 S L9 AND BROWNE, C?/AU  
 L12 0 S L10 AND COALKLEY, T?/AU  
 L13 1 S L10 AND COALKLEY, T?/AU  
 L14 0 S L13 NOT L11  
 L15 8 S L10 AND GILES, R?/AU

Ad/K 31/44  
 CORD 417/00



L16 1 S L15 AND L11  
L17 7 S L15 NOT L11  
L18 7 S L17 NOT L13

=> s l10 and morrissey, g?/au  
24 MORRISSEY, G?/AU  
L19 1 L10 AND MORRISSEY, G?/AU

=> s l19 not l17  
L20 1 L19 NOT L17

=> s l19 not l10  
L21 0 L19 NOT L10

=>